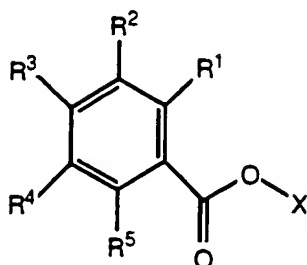


What is claimed is:

1. A substituted aromatic ester compound having the formula:

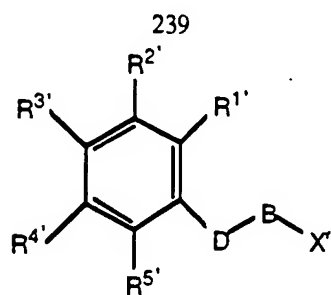


wherein X is a radical of the drug XOH,

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are the same or different and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxyl, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, with the proviso that at least one of R<sup>1-5</sup> are not H, and

wherein said compound is not Ara-C-2,4,6-trimethyl benzoate, Ara-C-3,4,5-trimethyl benzoate or Ara-C-2,6-dimethyl benzoate.

2. A compound as in Claim 1 wherein XOH is a cytotoxic drug.
3. A compound as in Claim 1 wherein XOH is an antineoplastic nucleoside analog, doxorubicin, or the enol form of aldophosphamide.
4. A compound as in Claim 1 wherein R<sup>1</sup> or R<sup>5</sup> is not H.
5. A compound having the formula:



wherein X' is an analog of X of Claim 1, and X' is optionally linked to a carrier protein,

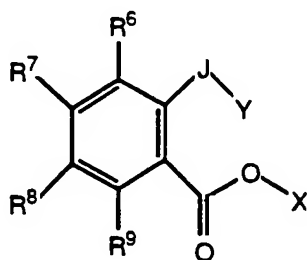
B is O, S, NH, or CH<sub>2</sub>,

D is P(O)OH, SO<sub>2</sub>, CHOH or SO, if D is CHOH then B is CH<sub>2</sub>, and

R<sup>1'</sup>, R<sup>2'</sup>, R<sup>3'</sup>, R<sup>4'</sup> and R<sup>5'</sup> are the same or different, are optionally linked to a carrier protein and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxyl, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, with the proviso that at least one of R<sup>1'</sup>-R<sup>5'</sup> are not H.

6. A compound as in Claim 5 wherein R<sup>1'</sup> or R<sup>5'</sup> is not H.

7. A substituted aromatic ester compound having the formula:



wherein X is a radical of the drug XOH,

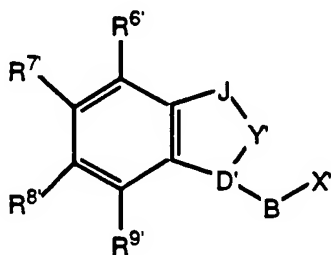
R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, and R<sup>9</sup> are the same or different and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms,

hydroxyl, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms, and

Y is OH, NH<sub>2</sub>, NHR or SH where R is an alkyl, alkenyl or alkynyl optionally substituted by one or more substituents selected from the group consisting of -OH, chloro, fluoro, bromo, iodo, -SO<sub>3</sub>, aryl, -SH, -(CO)H, -(CO)OH, ester groups, ether groups, -CO-, cyano, epoxide groups and heteroatoms.

8. A compound as in Claim 7 wherein XOH is a cytotoxic drug.
9. A compound as in Claim 7 wherein XOH is an antineoplastic nucleoside analog, doxorubicin, or the enol form of aldophosphamide.
10. A compound as in Claim - wherein at least 1 of R<sup>6-9</sup> is not H.
11. A compound having the formula:



wherein X' is an analog of X of Claim 7, and X' is optionally linked to carrier protein,

B is O, S, NH, or CH<sub>2</sub>,

D' is P(O), COH, if D' is COH then B and Y' are CH<sub>2</sub>,

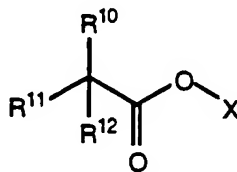
Y' is O, NH, NR, S or CH<sub>2</sub> where R is an alkyl, alkenyl or alkynyl optionally substituted by one or more substituents selected from the group consisting of -OH, chloro, fluoro, bromo, iodo, -SO<sub>3</sub>, aryl, -SH, -(CO)H, -(CO)OH, ester groups, ether groups, -CO-, cyano, epoxide groups and heteroatoms.

R<sup>6'</sup>, R<sup>7'</sup>, R<sup>8'</sup>, and R<sup>9'</sup> are the same or different, are optionally linked to the carrier protein and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxyl, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, and

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms.

12. A compound as in Claim 11 wherein at least 1 of R<sup>6'-9'</sup> is not H

13. A substituted acetate ester compound having the formula:



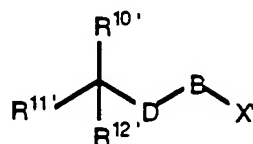
wherein X is a radical of the drug XOH, and

R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> are the same or different but at least two of them are not H, and are H or alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene, wherein said compound is not Ara-C-diethyl acetate.

14. A compound as in Claim 13 wherein XOH is a cytotoxic drug.

15. A compound as in Claim 13 wherein XOH is an antineoplastic nucleoside analog, doxorubicin, or the enol form of aldophosphamide.

16. A compound having the formula:



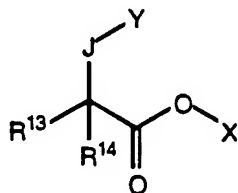
wherein X' is an analog of X of Claim 13, and X' is optionally linked to carrier protein,

B is O, S, NH, or CH<sub>2</sub>,

D is P(O)OH, SO<sub>2</sub>, CHOH or SO, provided that if D is CHOH then B is CH<sub>2</sub>, and

R<sup>10'</sup>-12' which are optionally linked to the carrier protein, are the same or different but at least two of them are not H, and are H or alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

17. A substituted acetate ester compound having the formula:



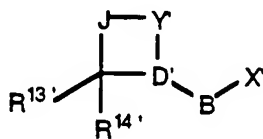
wherein X is a radical of the drug XOH,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms,

Y is OH, NH<sub>2</sub>, NHR or SH, and

R<sup>13-14</sup> are the same or different but are not both H, and are H or alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

18. A compound as in Claim 17 wherein XOH is a cytotoxic drug.
19. A compound as in Claim 17 wherein XOH is an antineoplastic nucleoside analog, doxorubicin, or the enol form of aldophosphamide.
20. A compound having the formula:



wherein X' is an analog of X of Claim 17, and X' is optionally linked to a carrier protein,

B is O, S, NH, or CH<sub>2</sub>,

D' is P(O), COH, provided that if D' is COH, then B and Y' are CH<sub>2</sub>,

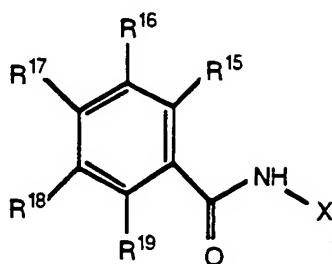
Y' is O, NH, NR, S or CH<sub>2</sub>,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms, and

R<sup>13'-14'</sup> which are optionally linked to a carrier protein are the same or different but at least two of them are not H, and are H or alkyl with 2 to 22 carbon atoms, alkyl with

heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

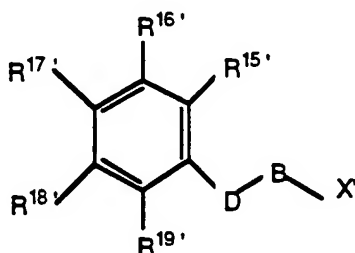
21. An aromatic amide having the formula:



wherein X is a radical of the drug  $\text{XNH}_2$ , and

$\text{R}^{15}$ ,  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$  and  $\text{R}^{19}$  are the same or different and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxy, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium.

22. A compound as in Claim 21 wherein  $\text{XNH}_2$  is a cytotoxic drug.
23. A compound as in Claim 21 wherein  $\text{XNH}_2$  is doxorubicin or melphalan.
24. A compound having the formula:



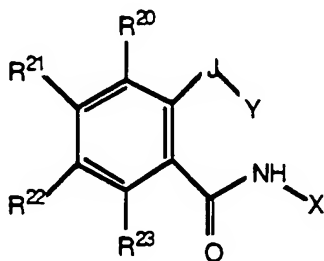
wherein X' is an analog of X of Claim 21, and X' is optionally linked to the carrier protein,

B is O, S, NH, or CH<sub>2</sub>,

D is P(O)OH, SO<sub>2</sub>, CHOH or SO, if D is CHOH then B is CH<sub>2</sub>, and

R<sup>15'</sup>, R<sup>16'</sup>, R<sup>17'</sup>, R<sup>18'</sup> and R<sup>19'</sup> are the same or different, are optionally linked to the carrier protein, and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxy, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium.

25. An aromatic amide compound having the formula:



wherein X is a radical of the drug XNH<sub>2</sub>,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms,

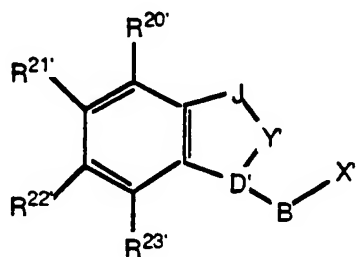
Y is OH, NH<sub>2</sub>, NHR or SH, where R is an alkyl, alkenyl or alkynyl optionally substituted by one or more substituents selected from the group consisting of -OH, chloro, fluoro, bromo, iodo, -SO<sub>3</sub>, aryl, -SH, -(CO)H, -(CO)OH, ester groups, ether groups, -CO-, cyano, epoxide groups and heteroatoms, and

R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, and R<sup>23</sup> are the same or different and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms,



hydroxy, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium.

26. A compound as in Claim 25 wherein  $\text{XNH}_2$  is a cytotoxic drug.
27. A compound as in Claim 25 wherein  $\text{XNH}_2$  is doxorubicin or melphalan.
28. A compound having the formula:



wherein  $\text{X}'$  is an analog of the drug  $\text{XNH}_2$  of Claim 25, and  $\text{X}'$  is optionally linked to a carrier protein,

B is O, S, NH, or  $\text{CH}_2$ ,

$\text{D}'$  is  $\text{P}(\text{O})$ , COH, provided that if  $\text{D}'$  is COH then B and  $\text{Y}'$  are  $\text{CH}_2$ ,

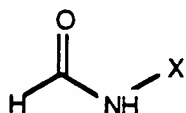
$\text{Y}'$  is O, NH, NR, S or  $\text{CH}_2$ , where R is an alkyl, alkenyl or alkynyl optionally substituted by one or more substituents selected from the group consisting of -OH, chloro, fluoro, bromo, iodo,  $-\text{SO}_3$ , aryl, -SH,  $-(\text{CO})\text{H}$ ,  $-(\text{CO})\text{OH}$ , ester groups, ether groups, -CO-, cyano, epoxide groups and heteroatoms,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms, and

$\text{R}^{20'}$ ,  $\text{R}^{21'}$ ,  $\text{R}^{22'}$ , and  $\text{R}^{23'}$  are the same or different, are optionally linked to the carrier protein and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic

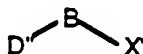
aromatic, alkene with 1-10 carbon atoms, hydroxy, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium.

29. A formylamide compound having the formula:



wherein X is a radical of the drug  $\text{XNH}_2$ .

30. A compound as in Claim 29 wherein  $\text{XNH}_2$  is a cytotoxic drug.
31. A compound as in Claim 29 wherein  $\text{XNH}_2$  is doxorubicin or melphalan.
32. A compound having the formula:

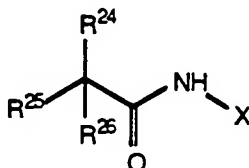


wherein  $\text{X}'$  is an analog of X of Claim 29, and  $\text{X}'$  is optionally linked to the carrier protein,

B is O, S, NH, or  $\text{CH}_2$ , and

$\text{D}''$  is  $\text{HP(O)OH}$ ,  $\text{CH}_2\text{OH}$ ,  $\text{P(O)(OH)}_2$ , or  $\text{SO}_3\text{H}$ , if  $\text{D}''$  is  $\text{CH}_2\text{OH}$  then B is  $\text{CH}_2$ .

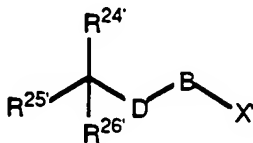
33. An acetamide compound having the formula:



wherein X is a radical of the drug  $\text{XNH}_2$ , and

$\text{R}^{24}$ ,  $\text{R}^{25}$  and  $\text{R}^{26}$  are the same or different and are H, alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

34. A compound as in Claim 33 wherein  $\text{XNH}_2$  is a cytotoxic drug.
35. A compound as in Claim 33 wherein  $\text{XNH}_2$  is doxorubicin or melphalan.
36. A compound having the formula:



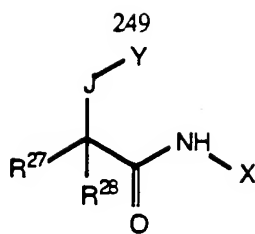
wherein  $\text{X}'$  is an analog of X of Claim 33, and  $\text{X}'$  is optionally linked to the carrier protein,

B is O, S, NH, or  $\text{CH}_2$ ,

D is  $\text{P}(\text{O})\text{OH}$ ,  $\text{SO}_2$ ,  $\text{CHOH}$  or SO, if D is  $\text{CHOH}$  then B is  $\text{CH}_2$ , and

$\text{R}^{24'-26'}$  which are optionally linked to a carrier protein are the same or different and are H, alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

37. An acetamide compound having the formula:



wherein X is a radical of the drug  $\text{XNH}_2$ ,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms,

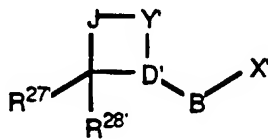
Y is OH,  $\text{NH}_2$ , NHR or SH, where R is an alkyl, alkenyl or alkynyl optionally substituted by one or more substituents selected from the group consisting of -OH, chloro, fluoro, bromo, iodo,  $-\text{SO}_3$ , aryl, -SH,  $-(\text{CO})\text{H}$ ,  $-(\text{CO})\text{OH}$ , ester groups, ether groups, -CO-, cyano, epoxide groups and heteroatoms, and

$\text{R}^{27-28}$  are the same or different and are H, alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

38. A compound as in Claim 37 wherein  $\text{XNH}_2$  is a cytotoxic drug.

39. A compound as in Claim 37 wherein  $\text{XNH}_2$  is doxorubicin or melphalan.

40. A compound having the formula:



wherein  $\text{X}'$  is an analog of X of Claim 37, and  $\text{X}'$  is optionally linked to the carrier protein,

B is O, S, NH, or CH<sub>2</sub>.

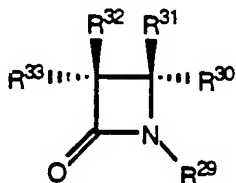
D' is P(O), COH, provided that if D' is COH then B and Y' are CH<sub>2</sub>.

Y' is O, NH, NR, S or CH<sub>2</sub> where R is an alkyl, alkenyl or alkynyl optionally substituted by one or more substituents selected from the group consisting of -OH, chloro, fluoro, bromo, iodo, -SO<sub>3</sub>, aryl, -SH, -(CO)H, -(CO)OH, ester groups, ether groups, -CO-, cyano, epoxide groups and heteroatoms,

J is alkyl with 1-9 atoms in a linear configuration, alkyl with heteroatoms with 1-9 atoms in a linear configuration which have substituents that are phenyl, alkyl, or alkyl with heteroatoms, and

R<sup>27'-28'</sup> which are optionally linked to the carrier protein are the same or different and are H, alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene.

41. A monolactam compound having the formula:

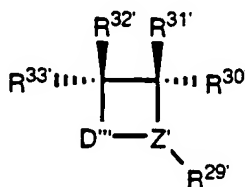


wherein at least one of R<sup>30</sup> and R<sup>31</sup> is OX where X is a radical of the drug XOH, and

R<sup>29-33</sup> which are not OX are the same or different and are H, alkyl with 1-10 carbon atoms, alkenyl with 1-10 carbon atoms, monocyclic aromatic, carboxyalkyl with 1-10 carbon atoms and with or without heterocyclic or phenyl substitution, alkoxy with 1-10 carbon atoms, alkylamino with 1-10 carbon atoms, aminoalkyl with 1-10 carbon atoms, acyloxy with 1-10 carbon atoms, with or without heterocyclic or phenyl substitution, or acylamino with 1-10 carbon atoms with or without heterocyclic or phenyl substitution, and

R<sup>29</sup> is optionally SO<sub>3</sub>H or SO<sub>4</sub>H.

42. A compound as in Claim 41 wherein XOH is a cytotoxic drug.
43. A compound as in Claim 41 wherein  $R^{30}$  and/or  $R^{31}$  is an antineoplastic nucleoside analog, doxorubicin, or the enol form of aldophosphamide.
44. A compound having the formula:



wherein at least one of  $R^{30'}$  and  $R^{31'}$  is an analog of X of Claim 41, and said analog is optionally linked to a carrier protein,

$D'''$  is  $SO_2$ ,  $SO$  or  $CHOH$ , if  $D'''$  is  $CHOH$  then  $Z'$  is  $CH$ ,

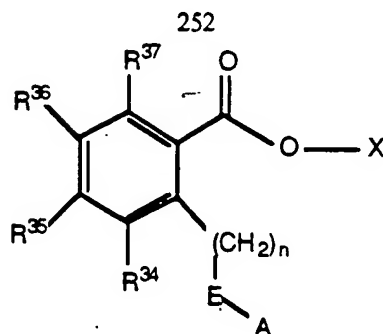
$Z'$  is  $O$ ,  $N$ , or  $CH$ ; provided that when  $Z'$  is  $O$  then  $R^{29'}$  is omitted,

$R^{29'-33'}$  which are not said analog, are the same or different and are  $H$ , alkyl with 1-10 carbon atoms, alkenyl with 1-10 carbon atoms, monocyclic aromatic, carboxyalkyl with 1-10 carbon atoms and with or without heterocyclic or phenyl substitution, alkoxy with 1-10 carbon atoms, alkylamino with 1-10 carbon atoms, aminoalkyl with 1-10 carbon atoms, acyloxy with 1-10 carbon atoms, with or without heterocyclic or phenyl substitution, or acylamino with 1-10 carbon atoms with or without heterocyclic or phenyl substitution, and

$R^{29'}$  is optionally  $SO_3H$  or  $SO_4H$ , and

$R^{29'-33'}$  are optionally linked to a carrier protein.

45. A monolactam compound having the formula:



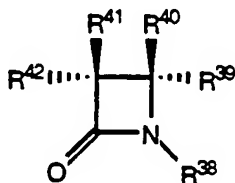
wherein X is a radical of a drug XOH,

$R^{34}$ ,  $R^{35}$ ,  $R^{36}$ , and  $R^{37}$  are the same or different and are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxy, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, with the proviso that at least 1 of  $R^{34-37}$  is not H,

n is an integer from 0 to 3,

E is optionally present and is oxygen, carbonyloxy, or oxycarbonyl, provided that if E is not present n does not equal 0,

A is the radical:



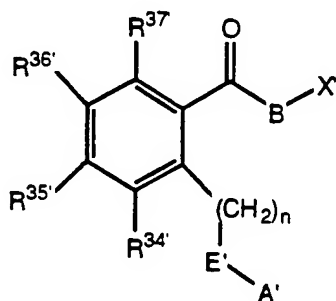
and  $R^{38}$ ,  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$  or  $R^{42}$  is the site of attachment to E, or if E is not present to  $[(CH_2)_n]$ , or if E is not present and  $n = 0$ , to the phenyl ring,

$R^{38}$ ,  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$  and  $R^{42}$  are the same or different and are H, alkyl with 1-10 carbon atoms, alkenyl with 1-10 carbon atoms, monocyclic aromatic, carboxyalkyl with 1-10 carbon atoms and with or without heterocyclic or phenyl substitution, alkoxy with 1-10 carbon atoms, alkylamino with 1-10 carbon atoms, aminoalkyl with 1-10 carbon atoms,

acyloxy with 1-10 carbon atoms, with or without heterocyclic or phenyl substitution, or acylamino with 1-10 carbon atoms with or without heterocyclic or phenyl substitution, and

$R^{38}$  is optionally  $SO_3H$  or  $SO_4H$ .

46. A compound as in Claim 45 wherein  $XOH$  is a cytotoxic drug.
47. A compound as in Claim 45 wherein  $XOH$  is an antineoplastic nucleoside analog, doxorubicin or the enol form of aldophosphamide.
48. A compound having the formula:



wherein  $X'$  is an analog of  $X$  of Claim 45, and is optionally linked to carrier protein,

$B$  is  $O$ ,  $S$ ,  $NH$ , or  $CH_2$ ,

$R^{34'}$ ,  $R^{35'}$ ,  $R^{36'}$ , and  $R^{37'}$  are the same or different and are  $H$ , alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxy, hydroxyalkyl, aminoalkyl, thioalkyl, amino, alkylamino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, with the proviso that at least 1 of  $R^{34'}$ - $37'$  is not  $H$ ,

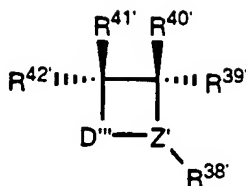
$R^{34'}$ ,  $R^{35'}$ ,  $R^{36'}$  or  $R^{37'}$  is optionally the site of attachment to a carrier protein,

$n$  is an integer from 0 to 3,

$E'$  is optionally present and is  $CH_2$ ,  $O$ , carbonyloxy, carbonyl methylene, oxycarbonyl, or methylenecarbonyl,



A' is the radical:



wherein D''' is SO<sub>2</sub>, SO or CHOH, if D''' is CHOH, Z' is CH,

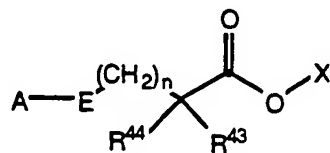
Z' is O, N, or CH with any stereochemistry; provided that when Z' is O then R<sup>38'</sup> is omitted,

and R<sup>38'</sup>, R<sup>39'</sup>, R<sup>40'</sup>, R<sup>41'</sup> or R<sup>42'</sup> is the site of attachment to E', or if E' is not present to (CH<sub>2</sub>)<sub>n</sub>, or if E is not present and n = 0, to the phenyl ring,

R<sup>38'</sup>, R<sup>39'</sup>, R<sup>40'</sup>, R<sup>41'</sup> and R<sup>42'</sup> are the same or different and are H, alkyl with 1-10 carbon atoms, alkenyl with 1-10 carbon atoms, monocyclic aromatic, carboxyalkyl with 1-10 carbon atoms and with or without heterocyclic or phenyl substitution, alkoxy with 1-10 carbon atoms, alkylamino with 1-10 carbon atoms, aminoalkyl with 1-10 carbon atoms, acyloxy with 1-10 carbon atoms, with or without heterocyclic or phenyl substitution, or acylamino with 1-10 carbon atoms with or without heterocyclic or phenyl substitution, and

R<sup>38'</sup> is optionally SO<sub>3</sub>H or SO<sub>4</sub>H.

49. A monolactam compound having the formula:



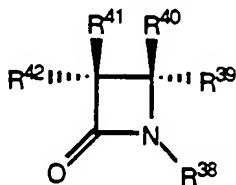
X is a radical of the drug XOH,

n is an integer from 0 to 4,

$R^{43}$  and  $R^{44}$  are the same or different but both are not H, and are alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene, ;

E is optionally present and is oxygen, carbonyloxy, or oxycarbonyl,

A is the following radical:



wherein  $R^{38}$ ,  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$  or  $R^{42}$  is the site of attachment to E, or if E is not present to  $(CH_2)_n$ , or if E is not present and  $n = 0$ , to the carbon atom to which  $R^{43}$  and  $R^{44}$  are attached,

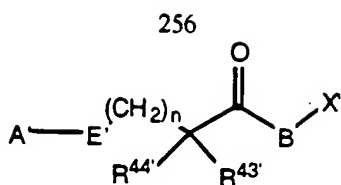
$R^{38}$ ,  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$  and  $R^{42}$  are the same or different and are H, alkyl with 1-10 carbon atoms, alkenyl with 1-10 carbon atoms, monocyclic aromatic, carboxyalkyl with 1-10 carbon atoms and with or without heterocyclic or phenyl substitution, alkoxy with 1-10 carbon atoms, alkylamino with 1-10 carbon atoms, aminoalkyl with 1-10 carbon atoms, acyloxy with 1-10 carbon atoms, with or without heterocyclic or phenyl substitution, or acylamino with 1-10 carbon atoms with or without heterocyclic or phenyl substitution, and

$R^{38}$  is optionally  $SO_3H$  or  $SO_4H$ .

50. A compound as in Claim 49 wherein XOH is a cytotoxic drug.

51. A compound as in Claim 49 wherein XOH is an antineoplastic nucleoside analog, doxorubicin, or the enol form of aldophosphamide.

52. A compound having the formula:



wherein X' is an analog of X of Claim 49, and X' is optionally linked to carrier protein,

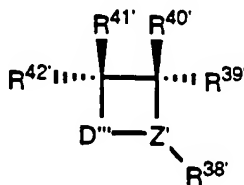
B is O, S, NH, or CH<sub>2</sub>,

n is an interger from 0 to 4,

R<sup>43'</sup> and R<sup>44'</sup> are the same or different but both are not H, and are alkyl with 2 to 22 carbon atoms, alkyl with heteroatoms, cycloalkyldiol, monocyclic aromatic, alkylphosphonate, alkylsulfonate, alkylcarboxylate, alkylammonium or alkene,

E' is optionally present and is CH<sub>2</sub>, O, carbonyloxy, carbonyl methylene, oxycarbonyl, or methylenecarbonyl,

A' is the radical:



wherein D''' is SO<sub>2</sub>, SO or CHOH, provided that if D''' is CHOH, Z' is CH,

Z' is O, N, or CH, provided that when Z' is O then R<sup>38'</sup> is omitted,

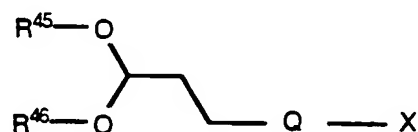
R<sup>38'</sup>, R<sup>39'</sup>, R<sup>40'</sup>, R<sup>41'</sup> or R<sup>42'</sup> is the site of attachment to to E', or if E' is not present to (CH<sub>2</sub>)<sub>n</sub>, or if E' is not present and n = 0, to the carbon atom to which R<sup>43'</sup> and R<sup>44'</sup> are attached,

R<sup>38'</sup>, R<sup>39'</sup>, R<sup>40'</sup>, R<sup>41'</sup> and R<sup>42'</sup> are the same or different and are H, alkyl with 1-10 carbon atoms, alkenyl with 1-10 carbon atoms, monocyclic aromatic, carboxyalkyl with 1-10 carbon atoms and with or without heterocyclic or phenyl substitution, alkoxy with 1-10 carbon atoms, alkylamino with 1-10 carbon atoms, aminoalkyl with 1-10 carbon atoms,

acyloxy with 1-10 carbon atoms, with or without heterocyclic or phenyl substitution, or acylamino with 1-10 carbon atoms with or without heterocyclic or phenyl substitution, and

$R^{38'}$  is optionally  $SO_3H$  or  $SO_4H$ .

53. An alkyl acetal compound having the formula:



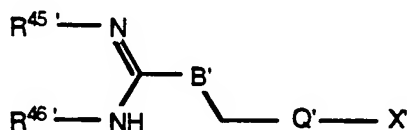
wherein X is a radical of the drug XQH, where Q is O or NH, and

$R^{45}$  and  $R^{46}$  are the same or different and are alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic

wherein said compound is not aldophosphamide diethylacetal.

54. A compound as in Claim 53 wherein XQH is a cytotoxic drug.
55. A compound as in Claim 53 wherein XQH is a nucleoside analog or phosphoramidate mustard  $[HOP(O)(NH_2)N(CH_2CH_2Cl)_2]$ , melphalan or doxorubicin.

56. A compound having the formula:



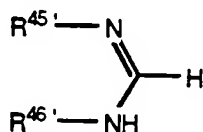
wherein  $Q'$  is O, S, NH, or  $CH_2$ ,

$X'$  is an analog of X of Claim 53, and  $X'$  is optionally linked to a carrier protein,

$B'$  is NH or  $CH_2$ , if  $B'$  is NH, then  $Q'$  is  $CH_2$ , and

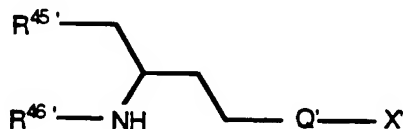
R<sup>45'</sup> and R<sup>46'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

57. A compound having the formula:



wherein R<sup>45'</sup> and R<sup>46'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

58. A compound having the formula:

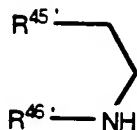


wherein Q' is O, S, NH, or CH<sub>2</sub>,

wherein X' is an analog of X of Claim 53, and X' is optionally linked to a carrier protein, and

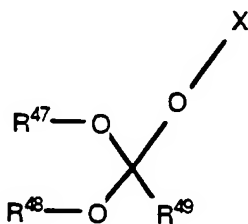
R<sup>45'</sup> and R<sup>46'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

59. A compound having the formula:



wherein  $R^{45'}$  and  $R^{46'}$  are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

60. An orthoester compound having the formula:



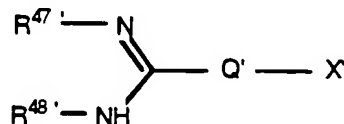
wherein X is a radical of the drug XOH, and

$R^{47}$ ,  $R^{48}$ , and  $R^{49}$  are the same or different and are alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and

$R^{49}$  is optionally H.

61. A compound as in Claim 60 wherein XOH is a cytotoxic drug.
62. A compound as in Claim 60 wherein XOH is nucleoside analog or doxorubicin or the enol form of aldophosphamide.

63. A compound having the formula:

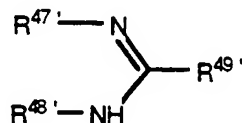


wherein X' is an analog of X of Claim 60, and which is optionally linked to a carrier protein,

Q' is O, CH<sub>2</sub>, S, or NH, and

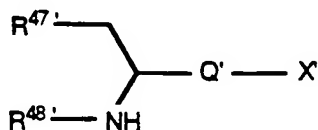
R<sup>47'</sup> and R<sup>48'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

64. A compound having the formula:



wherein R<sup>47'</sup>, R<sup>48'</sup>, and R<sup>49'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

65. A compound having the formula:

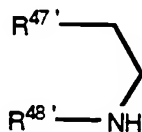


wherein X' is an analog of X of Claim 60, and which is optionally linked to a carrier protein,

Q' is CH<sub>2</sub>, and

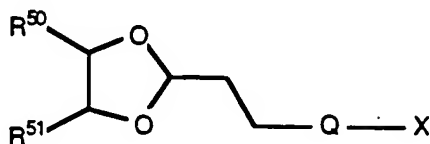
R<sup>47'</sup> and R<sup>48'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

66. A compound having the formula:



wherein R<sup>47'</sup> and R<sup>48'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

67. A diol acetal compound having the formula:

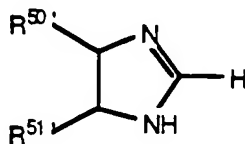


wherein X is a radical of the drug XQH, where Q is O or NH, and

R<sup>50</sup> and R<sup>51</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic.

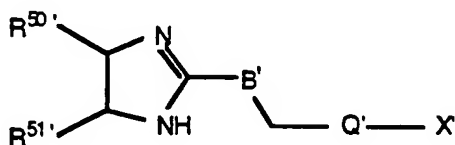


68. A compound as in Claim 67 wherein XQH is a cytotoxic drug.
69. A compound as in Claim 67 wherein XQH is a nucleoside analog or phosphoramidate mustard  $[\text{HOP}(\text{O})(\text{NH}_2)\text{N}(\text{CH}_2\text{CH}_2\text{Cl})_2]$ , melphalan or doxorubicin.
70. A compound as in Claim 67 wherein  $\text{R}^{50}$  and  $\text{R}^{51}$  are cis and the same so that there is a mirror plane of symmetry within the acetal moiety of the molecule, and the number of isomers is minimized.
71. A compound having the formula:



wherein  $\text{R}^{50'}$  and  $\text{R}^{51'}$  are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

72. A compound having the formula:



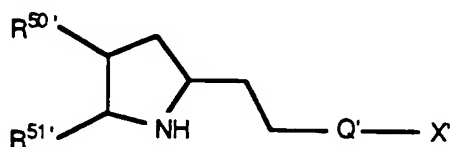
wherein  $\text{Q}'$  is O, S, NH or  $\text{CH}_2$ ,

$\text{X}'$  is an analog of X of Claim 17, and  $\text{X}'$  is optionally linked to a carrier protein,

B' is NH or CH<sub>2</sub>, if B' is NH, then Q' is CH<sub>2</sub>, and

R<sup>50'</sup> and R<sup>51'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

73. A compound having the formula:

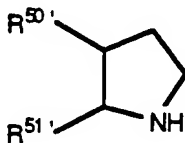


wherein Q' is O, S, NH or CH<sub>2</sub>,

X' is an analog of X of Claim 67, and X' is optionally linked to a carrier protein, and

R<sup>50'</sup> and R<sup>51'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

74. A compound having the formula:



wherein R<sup>50'</sup> and R<sup>51'</sup> are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

75. A diol acetal compound having the formula:

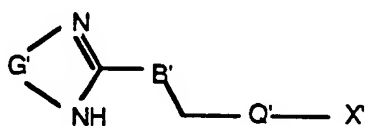


wherein X is a radical of a drug XQH, where Q is O or NH, and

G is a radical of the diol  $\text{G}(\text{OH})_2$ ,  $\text{G}(\text{OH})_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic.

76. A compound as in Claim 75 wherein XQH is a cytotoxic drug.
77. A compound as in Claim - wherein XQH is a nucleoside analog or phosphoramidate mustard  $[\text{HOP}(\text{O})(\text{NH}_2)\text{N}(\text{CH}_2\text{CH}_2\text{Cl})_2]$ , melphalan or doxorubicin.

78. A compound having the formula:



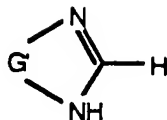
wherein Q' is O, S, NH or  $\text{CH}_2$ ,

X' is an analog of X of Claim 75, and X' is optionally linked to a carrier protein,

B' is NH or  $\text{CH}_2$ , if B' is NH, then Q' is  $\text{CH}_2$ , and

G' is a radical of the diol  $\text{G}(\text{OH})_2$ ,  $\text{G}(\text{OH})_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein.

79. A compound having the formula:



G' is a radical of the diol  $G(OH)_2$ ,  $G(OH)_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein.

80. A compound having the formula:

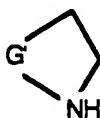


wherein Q' is O, S, NH or  $CH_2$ ,

X' is an analog of X of Claim 75, which is optionally linked to a carrier protein, and

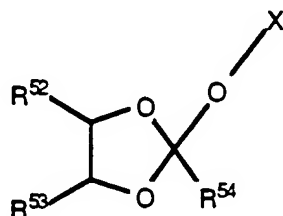
G' is a radical of the diol  $G(OH)_2$ ,  $G(OH)_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

81. A compound having the formula:



G' is a radical of the diol  $G(OH)_2$ ,  $G(OH)_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

82. A diol orthoester compound having the formula:



wherein X is a radical of a drug  $XOH$ ,

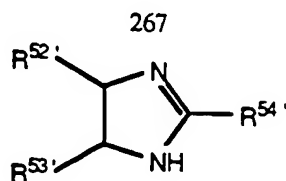
$R^{52}$ ,  $R^{53}$  and  $R^{54}$  are the same or different and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic.

83. A compound as in Claim 82 wherein  $XOH$  is a cytotoxic drug.

84. A compound as in Claim 82 wherein  $XOH$  is nucleoside analog or doxorubicin or the enol form of aldophosphamide.

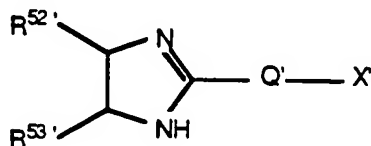
85. A compound as in Claim 82 wherein  $R^{52}$  and  $R^{53}$  are cis and the same so that there is a mirror plane of symmetry within the cyclic acetal moiety of the molecule, and the number of isomers is minimized.

86. A compound having the formula:



wherein  $R^{52'}$ ,  $R^{53'}$ , and  $R^{54'}$  are the same or different, and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

87. A compound having the formula:

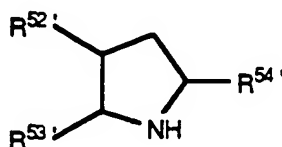


wherein  $X'$  is an analog of  $X$  of Claim 82, and which is optionally linked to a carrier protein,

$Q'$  is  $CH_2$  or  $NH$ , and

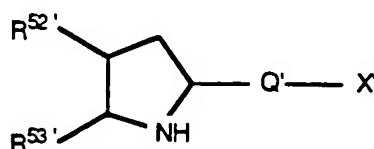
$R^{52'}$  and  $R^{53'}$  are the same or different, and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

88. A compound having the formula:



wherein  $R^{52'}$ ,  $R^{53'}$ , and  $R^{54'}$  are the same or different, and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

89. A compound having the formula:

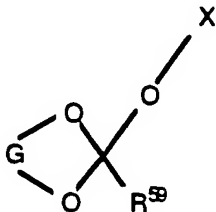


wherein  $X'$  is an analog of  $X$  of Claim 82, and which is optionally linked to a carrier protein,

$Q'$  is  $CH_2$ , and

$R^{52'}$  and  $R^{53'}$  are the same or different, and are H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and are optionally linked to a carrier protein.

90. A diol orthoester compound having the formula:

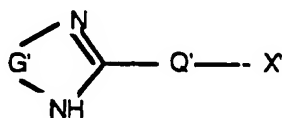


wherein  $X$  is a radical of a drug  $XOH$ ,

G is a radical of the diol  $G(OH)_2$ ,  $G(OH)_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and :

$R^{59}$  is H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic.

91. A compound as in Claim 90 wherein XOH is a cytotoxic drug.
92. A compound as in Claim 90 wherein XOH is nucleoside analog, the enol form of aldophosphamide or doxorubicin.
93. A compound having the formula:



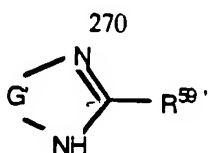
wherein X' is an analog of X of Claim 90, and which is optionally linked to a carrier protein,

Q' is  $CH_2$  or NH, and

G' is a radical of the diol  $G(OH)_2$ ,  $G(OH)_2$  is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein.

94. A compound having the formula:

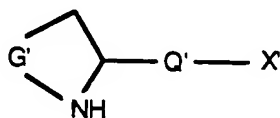




G' is a radical of the diol G(OH)<sub>2</sub>, G(OH)<sub>2</sub> is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein, and

R<sup>59'</sup> is H, alkyl unsubstituted, alkyl substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate or alkyl ester or alkyl amide, hydroxyl, alkylammonium, amino, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein.

95. A compound having the formula:

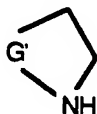


wherein X' is an analog of X of Claim 90, and which is optionally linked to a carrier protein,

Q' is CH<sub>2</sub>, and

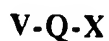
G' is a radical of the diol G(OH)<sub>2</sub>, G(OH)<sub>2</sub> is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein.

96. A compound having the formula:



G' is a radical of the diol G(OH)<sub>2</sub>, G(OH)<sub>2</sub> is a sugar, cycloalkyldiol or ortho-phenyldiol, and G' is optionally substituted with halogens, heteroatoms, phosphonate, sulfonate, carboxylate, alkylammonium, alkene, or monocyclic aromatic, and is optionally linked to a carrier protein.

97. A compound having the formula:



wherein X is a radical of the drug XQH, where Q is O or NH, and

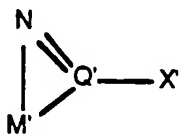
V is a hexopyranose or hexofuranose conjugated to QX via the anomeric position of the sugar with optional alpha or beta configuration.

98. A compound as in Claim 97 wherein XQH is a cytotoxic drug.

99. A compound as in Claim 97 wherein XQH is a nucleoside analog or phosphoramidate mustard [HOP(O)(NH<sub>2</sub>)N(CH<sub>2</sub>CH<sub>2</sub>Cl)<sub>2</sub>], melphalan or doxorubicin.

100. A compound as in Claim - wherein V is Glucose, Glucosamine, D-Quinovopyranose, Galactose, Galactosamine, L-Fucopyranose, L-Rhamnopyranose, D-Glucopyranuronic acid, D-Galactopyranuronic acid, D-manopyranuronic acid, or D-Iodopyranuronic acid.

101. A compound having the formula:

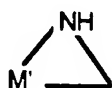


wherein X' is an analog of X of Claim 97, and which is optionally linked to a carrier protein,

Q' is NH, and

M' is a 1,4-diradical of a n-pentane where C1, C2, C3 and C5 are optionally substituted with OH, and M' is optionally linked to a carrier protein.

102. A compound having the formula:



M' is a 1,4-diradical of a n-pentane where C1, C2, C3 and C5 are optionally substituted with OH, and M' is optionally linked to a carrier protein.

103. A compound having the formula:



wherein X' is an analog of X of Claim 97, and which is optionally linked to a carrier protein,

Q' is CH<sub>2</sub>, and

M' is a 1,4-diradical of a n-pentane where C1, C2, C3 and C5 are optionally substituted with OH, and M' is optionally linked to a carrier protein.

104. A prodrug comprising a compound as claimed in Claim 1.

105. A pharmaceutical composition comprising:

- (a) an effective amount of the compound of Claim 1, and
  - (b) a pharmaceutically acceptable carrier.
106. A hapten for producing antibodies comprising a compound as claimed as Claim 5.
107. A compound as recited in Claim 5 having utility as a hapten in raising antibodies by immune response.
108. An antibody raised to a hapten of Claim 5 capable of activating the prodrug of Claim 1.
109. An immunoconjugate for treatment of specific cell populations comprising
- (a) a moiety capable of binding to an epitope of a specific cell population, and
  - (b) a catalytic antibody moiety capable of activating a prodrug of Claim 1 or Ara-C-2,4,6-trimethyl benzoate, Ara-C-3,4,5-trimethyl benzoate or Ara-C-2,6-dimethyl benzoate.
110. A pharmaceutical composition comprising
- (a) an effective amount of an immunoconjugate as recited in Claim 109, and
  - (b) a pharmaceutically effective carrier.
111. A therapeutic combination comprising
- (a) a prodrug as recited in Claim 1, and
  - (b) an immunoconjugate comprising
    - (i) a moiety capable of binding to an epitope of a specific cell population, and
    - (ii) an enzyme moiety or catalytic antibody moiety capable of activating a prodrug of Claim 1.
112. A therapeutic combination comprising

(a) Ara-C-2,4,6-trimethyl benzoate, Ara-C-3,4,5-trimethyl benzoate or Ara-C-2,6-dimethyl benzoate, and

(b) an immunoconjugate comprising

(i) a moiety capable of binding to an epitope of a specific cell population, and

(ii) a catalytic antibody moiety capable of activating Ara-C-2,4,6-trimethyl benzoate, Ara-C-3,4,5-trimethyl benzoate or Ara-C-2,6-dimethyl benzoate.

113. A method of treating a condition of a specific cell population comprising the steps of:

(a).administering an immunoconjugate comprising

(i) a moiety capable of binding to an epitope of a specific cell population, and

(ii) an enzyme moiety or catalytic antibody moiety capable of activating a prodrug

of Claim 1;

(b) permitting said immunoconjugate to become localized at said cell population; and

(c).administering said prodrug of Claim 1.

114. A method of treating a condition of a specific cell population comprising the steps of:

(a).administering an immunoconjugate comprising

(i) a moiety capable of binding to an epitope of a specific cell population, and

(ii) a catalytic antibody moiety capable of activating Ara-C-2,4,6-trimethyl

benzoate, Ara-C-3,4,5-trimethyl benzoate or Ara-C-2,6-dimethyl benzoate;

(b) permitting said immunoconjugate to become localized at said cell population; and

(c).administering Ara-C-2,4,6-trimethyl benzoate, Ara-C-3,4,5-trimethyl benzoate or Ara-C-2,6-dimethyl benzoate which is activated by said immunoconjugate.

115. A method as in Claim 113 wherein said condition of a specific cell population is cancer cells.

116. A method for identifying an antibody capable of activating a prodrug of interest comprising the steps of:

- (i) immunizing a host with a hapten selected to elicit an antibody capable of activating the prodrug of interest and which is also capable of inactivating an antibiotic;
- (ii) isolating recombinant genes coding for said antibody;
- (iii) inserting the genes coding for said antibody into bacteria;
- (iv) culturing said bacteria in a medium containing the antibiotic;
- (v) selecting those bacteria which survive;
- (vi) isolating antibody genes from the surviving bacteria;
- (vii) expressing the antibody genes to produce sufficient quantity of antibody to characterize the antibody; and
- (viii) screening the antibody for the capability of activating the prodrug of interest.

117. A method for identifying an antibody capable of activating a prodrug of interest comprising the steps of

- (i) immunizing a host with a hapten selected to elicit an antibody capable of activating the prodrug of interest;
- (ii) isolating recombinant genes coding for said antibody;
- (iii) inserting the genes coding for said antibody into bacteria;
- (iv) culturing said bacteria in a medium containing thymidine derivatized by the same promoiety as the prodrug of interest;
- (v) selecting those bacteria which survive;
- (vi) isolating antibody genes from the surviving bacteria;
- (vii) expressing the antibody genes to produce sufficient quantity of antibody to characterize the antibody; and
- (viii) screening the antibody for the capability of activating the prodrug of interest.

118. A method of screening for antibodies capable of catalyzing the conversion of substrate to product comprising the steps of:

- (i) raising antibodies against a hapten,
- (ii) immobilizing said antibodies,
- (iii) adding a substrate to said antibodies, and
- (iv) identifying antibodies capable of catalyzing the conversion of substrate to product.

119. A method as in Claim 118 wherein after step

- (i) is the step of selecting antibodies which bind said hapten.

120. A method of screening for cells expressing an antibody capable of catalyzing a reaction comprising the steps of:

- (i) plating out cells auxotrophic for a compound and containing antibody genes, in a culture medium containing a proform of said compound; and
- (ii) selecting those cells which survive which express an antibody capable of activating said proform to release said compound.

121. A method of screening for cells expressing an antibody capable of activating a prodrug comprising the steps of:

- (i) plating out thymidine dependent cells containing antibody genes in a culture medium containing a prodrug where said drug is thymidine; and
- (ii) selecting those cells which survive which express an antibody capable of activating said prodrug to form thymidine.

122. A method of screening for cells expressing an antibody capable of catalyzing a reaction comprising the steps of:

- (i) plating out cells containing antibody genes in a culture medium containing a toxin; and

(ii) selecting those cells which survive which express an antibody capable of inactivating said toxin.

123. A method of screening for cells expressing an antibody capable of activating a prodrug comprising the steps of:

- (i) plating out bacteria cells containing antibody genes in a culture medium containing an antibiotic; and
- (ii) selecting those bacteria cells which survive which express an antibody capable of inactivating said antibiotic.

124. A method of synthesizing a bispecific antibody comprising the steps of:

- (i) expressing a gene having a sequence selected from the group consisting of:  
 VH antibody 1-S-VL antibody 1-S-VL antibody 2-S-VH antibody 2;  
 VH antibody 1-S-VL antibody 1-S-VH antibody 2-S-VL antibody 2;  
 VL antibody 1-S-VH antibody 1-S-VL antibody 2-S-VH antibody 2;  
 VL antibody 1-S-VH antibody 1-S-VH antibody 2-S-VL antibody 2;  
 wherein -S- is a linker sequence; and
- (ii) isolating said bispecific antibody.

125. A method as in Claim 123 wherein antibody 1 is an antibody capable of binding to an epitope of a specific cell, and antibody 2 is a catalytic antibody.

126. A method of synthesizing a bispecific antibody comprising the steps of:

- (i) expressing a gene having the sequence:  
 VL antibody 1-S-VH antibody 2, and
- (ii) expressing a gene having the sequence:  
 VH antibody 1-S-VL antibody 2,
- (iii) combining the products of steps (i) and (ii), and



(iv) isolating said bispecific antibody,

wherein -S- is a linker sequence.

127. A method of synthesizing a bispecific antibody comprising the steps of:

(i) expressing a gene having the sequence;

VL antibody 2-S-VH antibody 1, and

(ii) expressing a gene having the sequence:

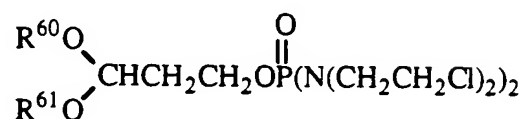
VH antibody 2-S-VL antibody 1,

(iii) combining the products of steps (i) and (ii), and

(iv) isolating said bispecific antibody,

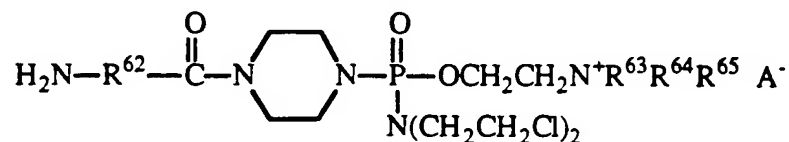
wherein -S- is a linker sequence.

128. A compound having the formula:



wherein R<sup>60</sup> and R<sup>61</sup> are the same or different and independently from one another are H, alkyl with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxyalkyl, hydroxyalkoxy, aminoalkyl, thioalkyl, alkylphosphonate, alkylsulfonate, alkylcarboxylate, cyclicalalkyl, substituted cyclicalalkyl, or cyclicalalkyl substituted with at least one heteroatom in the ring.

129. A compound of the formula:

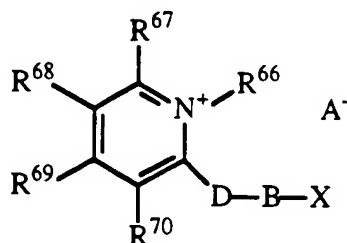


wherein  $R^{62}$  is alkyl with 1-10 carbon atoms or alkyl with 1-10 carbon atoms substituted with halogens, heteroatoms, phosphonate, sulfonate, or carboxylate,

$R^{63}$ ,  $R^{64}$ , and  $R^{65}$  are the same or different and independently from one another are alkyl with 1-10 carbon atoms, hydroxyalkyl, haloalkyl, thioalkyl, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, and

$A^-$  is an anion.

130. A substituted aromatic compound having the formula:



wherein X is a radical of the drug XOH and is optionally linked to a carrier protein;

B is O, S, NH or  $CH_2$ ;

D is HOP(O),  $SO_2$ , CHOH or SO (with any stereochemistry);

$R^{67}$ ,  $R^{68}$ ,  $R^{69}$  and  $R^{70}$  are the same or different and independently from one another are H, alkyl with 1-10 carbon atoms, alkoxy with 1-10 carbon atoms, monocyclic aromatic, alkene with 1-10 carbon atoms, hydroxyl, hydroxyalkyl, hydroxyalkoxy, haloalkyl, aminoalkyl, thioalkyl, amino, alkyl-amino, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, with the proviso that at least one of  $R^{67-70}$  are not H, and

$R^{66}$  is alkyl with 1-10 carbon atoms, hydroxyalkyl, haloalkyl, thioalkyl, alkylphosphonate, alkylsulfonate, alkylcarboxylate, or alkylammonium, and wherein

$A^-$  is an anion.

131. A therapeutic combination as recited in claim 111 wherein said immunoconjugate is modified by conjugation of a plurality of nonantigenic molecules to the immunoconjugate.

132. A therapeutic combination as recited in claim 112 wherein said immunoconjugate is modified by conjugation of a plurality of nonantigenic molecules to the immunoconjugate.